In the Claims

The listing of claims will replace all prior versions and listings of claims in the application.

Listings of claim

1. (Original) A compound of the formula (I), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolysable ester thereof,

wherein -N-HET is selected from the structures (Ia) to (If) below :-

Q is selected from Q1 to Q6 :-

 R_2 and R_3 are independently selected from H, F, Cl, CF₃, OMe, SMe, Me and Et; B_1 is O or S;

T is selected from the groups in (TAa1) to (TAa12):

wherein:

R^{6h} is selected from hydrogen, (1-4C)alkyl, (1-4C)alkoxycarbonyl, (1-4C)alkanoyl, carbamoyl and cyano;

R^{4h} and R^{5h} are independently selected from hydrogen, halo, trifluoromethyl, cyano, nitro, (1-4C)alkoxy, (1-4C)alkylS(O)q- (q is 0, 1 or 2), (1-4C)alkanoyl, (1-4C)alkoxycarbonyl, benzyloxy-(1-4C)alkyl, (2-4C)alkanoylamino, -CONRcRv and -NRcRv wherein any (1-4C)alkyl group contained in the preceding values for R^{4h} and R^{5h} is optionally substituted by up to three substituents independently selected from hydroxy (not on C1 of an alkoxy group, and excluding geminal disubstitution), oxo, trifluoromethyl, cyano, nitro, (1-4C)alkoxy, (2-4C)alkanoyloxy, hydroxyimino, (1-4C)alkoxyimino, (1-4C)alkylS(O)q- (q is 0, 1 or 2), (1-4C)alkanoyloxy, hydroxyimino, (1-4C)alkoxyimino, (1-4C)alkylS(O)q- (q is 0, 1 or 2), (4C)alkylSO2-NRv-, (1-4C)alkoxycarbonyl, -CONRcRv, and -NRcRv (not on C1 of an alkoxy group, and excluding geminal disubstitution); wherein Rv is hydrogen or (1-4C)alkyl and Rc is as hereinafter defined;

R^{4h} and R^{5h} may further be independently selected from (1-4C)alkyl {optionally substituted by one, two or three substituents independently selected from hydroxy (excluding geminal disubstitution), oxo, trifluoromethyl, cyano, nitro, (1-4C)alkoxy, (2-4C)alkanoyloxy, phosphoryl [-O-P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiryl [-O-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], hydroxyimino, (1-4C)alkoxyimino, (1-4C)alkylS(O)q- (q is 0, 1 or 2), (1-4C)alkylSO₂-NRv-, (1-4C)alkoxycarbonyl, -CONRcRv, -NRcRv (excluding geminal disubstitution), ORc, and phenyl (optionally substituted by one, two or three substituents independently selected from (1-4C)alkyl, (1-4C)alkoxy and halo)}; wherein Rv is hydrogen or (1-4C)alkyl and Rc is as hereinafter defined; and wherein any (1-4C)alkyl group contained in the immediately preceding optional substituents (when R^{4h} and R^{5h} are independently (1-4C)alkyl) is itself optionally substituted by up to three substituents independently selected from hydroxy (not on C1 of an alkoxy group, and excluding geminal disubstitution), oxo, trifluoromethyl, cyano, nitro, (1-4C)alkoxy, (2-4C)alkanoyloxy, hydroxyimino, (1-4C)alkoxyimino, (1-4C)alkylS(O)q- (q is 0, 1 or 2), (1-4C)alkylSO2-NRv-, (1-4C)alkoxycarbonyl, -CONRcRv, and -NRcRv (not on C1 of an alkoxy group, and excluding geminal disubstitution); wherein Rv is hydrogen or (1-4C)alkyl and Rc is as hereinafter defined;

or R^{4h} is selected from one of the groups in (TAaa) to (TAab) below, or (where appropriate) one of R^{4h} and R^{5h} is selected from the above list of R^{4h} and R^{5h} values, and the other is selected from one of the groups in (TAaa) to (TAab) below :-

(TAaa) a group of the formula (TAaa1)

$$Y \xrightarrow{0} z^0$$

(TAaa1)

wherein Z⁰ is hydrogen or (1-4C)alkyl;

X⁰ and Y⁰ are independently selected from hydrogen, (1-4C)alkyl, (1-4C)alkoxycarbonyl, halo, cyano, nitro, (1-4C)alkylS(O)_q- (q is 0, 1 or 2), RvRwNSO₂-, trifluoromethyl, pentafluoroethyl, (1-4C)alkanoyl and -CONRvRw [wherein Rv is hydrogen or (1-4C)alkyl]; Rw is hydrogen or (1-4C)alkyl];

(TAab) an acetylene of the formula -=-H or -=-(1-4C)alkyl; wherein Rc is selected from groups (Rc1) to (Rc2) :-

(Rc1) (1-6C)alkyl (optionally substituted by one or more (1-4C)alkanoyl groups (including

6 geminal disubstitution) and/or optionally monosubstituted by cyano, (1-4C)alkoxy, trifluoromethyl, (1-4C)alkoxycarbonyl, phenyl (optionally substituted as for AR1 defined hereinafter), $(1-4C)alkylS(O)q^{-}$ (q is 0, 1 or 2); or, on any but the first carbon atom of the $(1-4C)alkylS(O)q^{-}$ 6C)alkyl chain, optionally substituted by one or more groups (including geminal disubstitution) each independently selected from hydroxy and fluoro, and/or optionally monosubstituted by oxo, -NRvRw [wherein Rv is hydrogen or (1-4C)alkyl; Rw is hydrogen or (1-4C)alkyl], (1-6C)alkanoylamino, (1-4C)alkoxycarbonylamino, \underline{N} -(1-4C)alkyl- \underline{N} -6C)alkanoylamino, (1-4C)alkylS(O) $_p$ NH- or (1-4C)alkylS(O) $_p$ -((1-4C)alkyl)N- (p is 1 or 2)}; (Rc2) R¹³CO-, R¹³SO₂- or R¹³CSwherein R¹³ is selected from (Rc2a) to (Rc2d) :hydrogen, (1-4C)alkoxycarbonyl, trifluoromethyl and -NRvRw [wherein Rv is hydrogen or (1-4C)alkyl; Rw is hydrogen or (1-4C)alkyl]; (1-10C)alkyl (Rc2b) {optionally substituted by one or more groups (including geminal disubstitution) each independently selected from hydroxy, (1-10C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkanoyl, carboxy, phosphoryl [-O-P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiryl [-O-P(OH)2 and mono- and di-(1-4C)alkoxy derivatives thereof], and amino; and/or optionally substituted by one group selected from phosphonate [phosphono, -P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphinate [-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], cyano, halo, trifluoromethyl, (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkylamino, di((1-4C)alkoxycarbonyl, 4C)alkyl)amino, (1-6C)alkanoylamino, (1-4C)alkoxycarbonylamino, N-(1-4C)alkyl-N-(1-6C)alkanoylamino, (1-4C)alkylaminocarbonyl, di((1-4C)alkyl)aminocarbonyl, (1- $4C) alkylS(O)_pNH-, (1-4C)alkylS(O)_p-((1-4C)alkyl)N-, fluoro(1-4C)alkylS(O)_pNH-, fluoro(1-4C)_alkylS(O)_pNH-, fluoro$ $4C) alkylS(O)_p((1-4C)alkyl)N-, \ (1-4C)alkylS(O)_q- \ [the \ (1-4C)alkyl \ group \ of \ (1-4C)alkylS(O)_q- \ (1$ being optionally substituted by one substituent selected from hydroxy, (1-4C)alkoxy, (1-

4C)alkanoyl, phosphoryl [-O-P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiryl [-O-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], amino, cyano, halo, trifluoromethyl, (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, carboxy, (1-4C)alkylamino, di((1-4C)alkyl)amino, (1-6C)alkanoylamino, (1-4C)alkoxycarbonylamino, N-(1-4C)alkyl-N-(1-6C)alkanoylamino, (1-4C)alkylaminocarbonyl, di((1-4C)alkyl)aminocarbonyl, (1-4C)alkylS(O)pNH-, (1-4C)alkylS(O)p-((1-4C)alkyl)N-, and (1-4C)alkylS(O)q-;

(Rc2c) R¹⁴C(O)O(1-6C)alkyl wherein R¹⁴ is AR1, AR2, (1-4C)alkylamino (the (1-4C)alkyl group being optionally substituted by (1-4C)alkoxycarbonyl or by carboxy),

benzyloxy-(1-4C)alkyl or (1-10C)alkyl {optionally substituted as defined for (Rc2b)};

(Rc2d) R¹⁵O- wherein R¹⁵ is benzyl, (1-6C)alkyl {optionally substituted as defined for (Rc2c)} or AR2b;

wherein

AR1 is an optionally substituted phenyl or optionally substituted naphthyl;

AR2 is an optionally substituted 5- or 6-membered, fully unsaturated monocyclic heteroaryl ring containing up to four heteroatoms independently selected from O, N and S (but not containing any O-O, O-S or S-S bonds), and linked via a ring carbon atom, or a ring nitrogen atom if the ring is not thereby quaternised;

AR2a is a partially hydrogenated version of AR2, linked via a ring carbon atom or linked via a ring nitrogen atom if the ring is not thereby quaternised;

AR2b is a fully hydrogenated version of AR2, linked via a ring carbon atom or linked via a ring nitrogen atom.

- 2. (Currently Amended) A <u>The</u> compound of formula (I) as claimed in Cclaim 1, or a pharmaceutically-acceptable salt or an in-vivo hydrolysable ester thereof, wherein Q is Q1.
- 3. (Currently Amended) A <u>The</u> compound of formula (I) as claimed in Claim 1 or Claim 2 <u>claim 1</u>, or a pharmaceutically acceptable salt or an in-vivo hydrolysable ester thereof, wherein -N-HET is 1,2,3-triazol-1-yl or tetrazol-2-yl.
- 4. (Currently Amended) A <u>The</u> compound of formula (I) as claimed in any one of Claims 1 to 3 claim 1, or a pharmaceutically acceptable salt or an in vivo hydrolysable ester thereof, wherein R² and R³ are independently hydrogen or fluoro.
- 5. (Currently Amended) A <u>The</u> compound of formula (I) as claimed in any one of Claims 1 to 4 claim 1, or a pharmaceutically-acceptable salt or an in vivo hydrolysable ester thereof, wherein T is selected from TAa1 to TAa4, TAa5, TAa7 and TAa8.
- 6. (Currently Amended) A <u>The</u> compound of formula (I) as claimed in any one of Claims 1 to 5 claim 1, which is a compound of formula (IB), or a pharmaceutically-acceptable salt or an in-vivo hydrolysable ester thereof,

$$R^2$$
 N
 O
 N
 HET
 R^3
 (IB)

wherein -N-HET is 1,2,3-triazol-1-yl or tetrazol-2-yl;

R² and R³ are independently hydrogen or fluoro;

T is selected from TAa1, TAa5, TAa7 and TAa8;

R^{6h} is hydrogen or (1-4C)alkyl;

R^{4h} and R^{5h} are independently selected from hydrogen, cyano, hydroxy(1-4C)alkyl, cyano(1-4C)alkyl, phosphoryl(1-4C)alkyl, benzyl (optionally substituted on the phenyl ring by one substituent selected from halo, methyl and methoxy), (1-4C)alkyl, (1-4C)alkyl substituted with ORc (wherein Rc is R¹³CO and R¹³ is selected from Rc2b), (1-4C)alkanoyl and (1-4C)alkoxycarbonyl.

7. CANCELLED.

- 8. (Currently Amended) A method for producing an antibacterial effect in a warm blooded animal which comprises administering to said animal an effective amount of a compound of the invention as claimed in any one of claims 1 to 6, or a pharmaceutically-acceptable salt, or in-vivo hydrolysable ester or pro-drug thereof claim 1.
- CANCELLED.
- 10. CANCELLED.
- 11. (Currently Amended) A pharmaceutical composition which comprises a compound of the invention as claimed in any one of claims 1 to 6, or a pharmaceutically-acceptable salt or an in-vivo hydrolysable ester or pro-drug thereof claim 1, and a pharmaceutically-acceptable diluent or carrier.
- 12. (Original) A process for the preparation of a compound of formula (I) as claimed in claim 1 or pharmaceutically acceptable salts or in-vivo hydrolysable esters or pro-drugs thereof, which process comprises one of processes (a) to (g):
- (a) by modifying a substituent in, or introducing a new substituent into, the substituent group Q of another compound of formula (I); or

by reaction of a compound of formula (II): (b)

wherein Y is a displaceable group with a compound of the formula (III):

-N-HET

(111)

wherein -N-HET (of formula (Ia) to (If) optionally protected) is HN-HET (free-base form) or 'N-HET anion formed from the free base form; or

by reaction of a compound of the formula (IV) :

Q-Z

(IV)

wherein Z is an isocyanate, amine or urethane group with an epoxide of the formula (V) wherein the epoxide group serves as a leaving group at the terminal C-atom and as a protected hydroxy group at the internal C-atom; or with a related compound of formula (VI) where

the hydroxy group at the internal C-atom is protected and where the leaving group Y at the terminal C-atom is a leaving group;

or

(d) (i) by coupling, using catalysis by transition metals, of a compound of formula (VII):

wherein Y' is a group -N-HET as hereinbefore defined, X is a replaceable substituent; with a compound of the formula (VIII), or an analogue thereof, which is suitable to give a T substituent as defined by (TAa1-TAa12) in which the link is via an sp² carbon atom (D =

CH=C-Lg where Lg is a leaving group; or as in the case of reactions carried out under Heck reaction conditions Lg may also be hydrogen)

$$T_1$$
 T_2
(VIII)

where T_1 and T_2 may be the same or different and comprise a precursor to a ring of type T as hereinbefore defined, or T_1 and T_2 may together with D form a ring of type T as hereinbefore defined;

(d) (ii) by coupling, using catalysis by transition metals, of a compound of formula (VIIA):

wherein Y' is a group HET as hereinbefore defined, with a compound

[Aryl]-X

where X is a replaceable substituent;

- (e) Where N-HET is 1,2,3-triazole by cycloaddition via the azide (wherein Y in (II) is azide), with acetylene or masked acetylene;
- (f) Where N-HET is 1,2,3-triazole by synthesis with a compound of formula (IX), namely the arenesulfonylhydrazone of acetaldehyde, by reaction of a compound of formula (II) where $Y = NH_2$ (primary amine);

(g) Where N-HET is 1,2,3-triazole by cycloaddition via the azide (wherein Y in (II) is azide) with acetylene using Cu(I) catalysis in to give the N-1,2,3-triazole;

$$Q-N$$
 O
 N_3 (II : Y = N₃)

,

and thereafter if necessary:

- i) removing any protecting groups;
- ii) forming a pro-drug (for example an in-vivo hydrolysable ester); and/or
- iii) forming a pharmaceutically-acceptable salt.

13. (NEW) A compound which is

(5R)-3-[3-Fluoro-4-(3-methylisoxazol-5-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one;

Ethyl $5-\{2-\text{fluoro-}4-[(5R)-2-\text{oxo-}5-(1H-1,2,3-\text{triazol-}1-\text{ylmethyl})-1,3-\text{oxazolidin-}3-\text{yl]phenyl}\}$ isoxazole-3-carboxylate;

(5R)-3-{3-Fluoro-4-[3-(hydroxymethyl)isoxazol-5-yl]phenyl}-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one;

 $(5-\{2-Fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-3-yl]phenyl\} isoxazol-3-yl)methyl dihydrogen phosphate;$

 $1-Methyl-3-\{4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-3-yl]phenyl\}-1\\ +1H-pyrazole-5-carbonitrile;$

 $1-Methyl-3-\{4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-3-yl]phenyl\}-1\\H-pyrazole-5-carbaldehyde;$

(5R)-3-[3-Fluoro-4-(1H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one;

(5R)-3-[3-Fluoro-4-(1-methyl-1H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one;

(5R)-3-[3-Fluoro-4-(2-methyl-2H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one;

 $(4-\{2-Fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-3-yl]phenyl\}-1,2,3-triazol-1-yl)acetonitrile; or$

 $(4-\{2-Fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-3-yl]phenyl\}-2H-1,2,3-triazol-2-yl)acetonitrile.$